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(22) International Filing Date:  
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60/296,499 6 June 2001 (06.06.2001) US(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).(71) Applicant (*for all designated States except US*): TULARIK INC. [US/US]; Two Corporate Drive, South San Francisco, CA 94080 (US).**Published:**

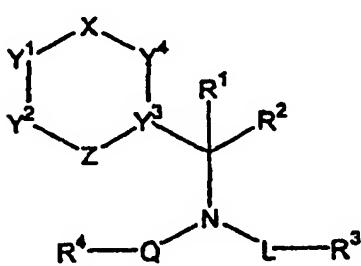
- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

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(54) Title: CXCR3 ANTAGONISTS



(57) Abstract: Compounds, compositions and methods that are useful in the treatment of inflammatory and immune conditions and diseases are provided herein. In particular, the invention provides compounds which modulate the expression and/or function of a chemokine receptor. The subject methods are useful for the treatment of inflammatory and immunoregulatory disorders and diseases, such as multiple sclerosis, rheumatoid arthritis and type I diabetes.

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## INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 01/47850

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K31/517	C07D239/91	A61P29/00	A61P37/02	C07D403/12
C07D401/12	C07D401/04	C07D471/04	C07F7/10	C07D235/14
C07D249/12	C07D405/04	C07D403/06	C07D413/14	

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D C07F

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, EPO-Internal, WPI Data, PAJ, BEILSTEIN Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category <sup>a</sup>	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
E	WO 01 98278 A (CYTOKINETICS, INC., USA) 27 December 2001 (2001-12-27) Page 53, compounds 1-5. page 45 -page 46; examples 4-9 ---	1-90
P, X	WO 01 30768 A (CYTOKINETICS, INC., USA) 3 May 2001 (2001-05-03) claim 31; figure 3; examples 4-8 ---	1-90
P, X	WO 01 16114 A (CHEMOCENTRYX, INC., USA) 8 March 2001 (2001-03-08) See also the compounds on page 12. page 10, line 25 - line 27; claim 1; examples 1-8; table 1 ---	1-135

 Further documents are listed in the continuation of box C. Patent family members are listed in annex.

## \* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the International filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the International filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed Invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed Invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*&\* document member of the same patent family

Date of the actual completion of the International search

26 August 2002

Date of mailing of the International search report

02/09/2002

Name and mailing address of the ISA

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## INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 01/47850

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,A	WO 01 77087 A (TEOBALD BARRY ; CAGE PETER (GB); ASTRAZENECA AB (SE)) 18 October 2001 (2001-10-18) claim 1 ---	1-135
P,A	WO 01 62758 A (BONNERT ROGER ; HUNT FRASER (GB); WALTERS IAIN (GB); GARDINER STEWA) 30 August 2001 (2001-08-30) claim 1 ---	1-135
A	PADIA J K ET AL: "Design and synthesis of novel nonpeptide CCK-B receptor antagonists" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 7, no. 7, 8 April 1997 (1997-04-08), pages 805-810, XP004136134 ISSN: 0960-894X the whole document -----	1-90

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International Application No. PCT/US 01 A7850

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

## Continuation of Box I.2

The independent claims 1, 66, 91, 97, 134 and 135 relate to an extremely large number of possible compounds. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compounds covered by a combination of claims 7 (restriction of the cyclic group) and 13 (Q is limited to -C(0)-). It is noted that this covers the vast majority of the examples.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

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**INTERNATIONAL SEARCH REPORT****Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)**

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1.  Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:  
**Although claims 91-135 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.**
2.  Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
**see FURTHER INFORMATION sheet PCT/ISA/210**
3.  Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

**Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)**

This International Searching Authority found multiple Inventions in this International application, as follows:

1.  As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2.  As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3.  As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4.  No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

**Remark on Protest**

- The additional search fees were accompanied by the applicant's protest.
- No protest accompanied the payment of additional search fees.

## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 01/47850

Patent document cited in search report	Publication date	Patent family member(s)			Publication date
WO 0198278 78 A		NONE			
WO 0130768 68 A		NONE			
WO 0116114 14 A		NONE			
WO 0177087 A	18-10-2001	GB AU WO	2361003 A 4699801 A 0177087 A1		10-10-2001 23-10-2001 18-10-2001
WO 0162758 A	30-08-2001	GB AU WO	2359551 A 3431601 A 0162758 A1		29-08-2001 03-09-2001 30-08-2001

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